

**Translation**

**PATENT COOPERATION TREATY**

**PCT**

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>FP2680PCT</b>	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. <b>PCT/JP2004/009803</b>	International filing date (day/month/year) <b>09.07.2004</b>	Priority date (day/month/year) <b>09.07.2003</b>
International Patent Classification (IPC) or national classification and IPC <b>C07C231026973823576 C07D3031231718 A61K31201 A61P31/12 // C07M7:00</b>		
Applicant <b>CHUGAI SEIYAKU KABUSHIKI KAISHA</b>		

1.	This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2.	This REPORT consists of a total of <u>7</u> sheets, including this cover sheet.
3.	This report is also accompanied by ANNEXES, comprising: <ul style="list-style-type: none"> <li>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:             <ul style="list-style-type: none"> <li><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</li> <li><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</li> </ul> </li> <li>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</li> </ul>
4.	This report contains indications relating to the following items: <ul style="list-style-type: none"> <li><input checked="" type="checkbox"/> Box No. I Basis of the report</li> <li><input type="checkbox"/> Box No. II Priority</li> <li><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</li> <li><input checked="" type="checkbox"/> Box No. IV Lack of unity of invention</li> <li><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</li> <li><input checked="" type="checkbox"/> Box No. VI Certain documents cited</li> <li><input type="checkbox"/> Box No. VII Certain defects in the international application</li> <li><input type="checkbox"/> Box No. VIII Certain observations on the international application</li> </ul>

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/IP	Authorized officer
Facsimile No.	Telephone No.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
  - ☐ This report is based on translations from the original language into the following language \_\_\_\_\_ which is the language of a translation furnished for the purposes of:
    - ☐ international search (Rule 12.3 and 23.1(b))
    - ☐ publication of the international application (Rule 12.4)
    - ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the elements of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:
  - ☒ the international application as originally filed/furnished
  - ☐ the description:
    - pages \_\_\_\_\_ as originally filed/furnished
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the claims:
    - nos. \_\_\_\_\_ as originally filed/furnished
    - nos.\* \_\_\_\_\_ as amended (together with any statement) under Article 19
    - nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ the drawings:
    - sheets \_\_\_\_\_ as originally filed/furnished
    - sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
    - sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
  - ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, nos. \_\_\_\_\_
  - ☐ the drawings, sheets/figs \_\_\_\_\_
  - ☐ the sequence listing (*specify*): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
  - ☐ the description, pages \_\_\_\_\_
  - ☐ the claims, nos. \_\_\_\_\_
  - ☐ the drawings, sheets/figs \_\_\_\_\_
  - ☐ the sequence listing (*specify*): \_\_\_\_\_
  - ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

## Box No. IV Lack of unity of invention

1. ☒ In response to the invitation to restrict or pay additional fees the applicant has:
- ☐ restricted the claims.
  - ☒ paid additional fees.
  - ☐ paid additional fees under protest.
  - ☐ neither restricted the claims nor paid additional fees.
2. ☐ This Authority found that the requirement of unity of invention is not complied with and chose, according to Rule 68.1, not to invite the applicant to restrict or pay additional fees.
3. This Authority considers that the requirement of unity of invention in accordance with Rules 13.1, 13.2 and 13.3 is:
- ☐ complied with.
  - ☒ not complied with for the following reasons:

The feature shared by claims 1, 3 to 5, and 7 to 11 is the structure common to the compounds represented by formula (I) and the compounds described in claim 7. The feature shared by claims 2 and 6 is the compound described in claim 6. However, no backbone structure can be found which is common to the above shared structure and the compound described in claim 6. Therefore, there is no special technical feature common to claims 1, 3 to 5, and 7 to 11 and claims 2 and 6; thus, these two groups of inventions are not considered to be so linked as to form a single general inventive concept.

4. Consequently, this report has been established in respect of the following parts of the international application:

- ☒ all parts.
- ☐ the parts relating to claims Nos. \_\_\_\_\_

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

**Box No. V** Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims	2, 9-11	YES
	Claims	1, 3-8	NO
Inventive step (IS)	Claims		YES
	Claims	1-11	NO
Industrial applicability (IA)	Claims	1-11	YES
	Claims		NO

## 2. Citations and explanations (Rule 70.7)

- Document 1: WO 98/56755 A1 (Takara Shuzo Kabushiki Kaisha), 17 December 1998, & EP 1002793 A1
- Document 2: JP 7-173123 A (Merck & Co., Inc.), 11 July 1995, & EP 526936 A2
- Document 3: T. Esumi et al., "Synthesis of Viridiofungin A Trimethyl Ester and Determination of the Absolute Structure of Viridiofungin A," *Tetrahedron Letters*, 1998, Vol. 39, No. 8, pages 877-880, ISSN 0040-4039
- Document 4: Tomoyuki Esumi et al., "Viridiofungin A no gosei to zettai kozo no kettei," *Dai 39 Kai Symposium on the Chemistry of Natural Products, Symposium Papers*, 1997, pages 409-414, CODEN TYKYDS
- Document 5: Ed. by CSJ (The Chemical Society of Japan), *Dai-4-ban jikken kagaku koza 19-Yuki gosei I: Tankasuiso-halogen kagobutsu*, Tokyo: Marubeni, 1992, ISBN 4-621-03722-6 C3343, pages 160-165
- Document 6: JP 8-502162 A (Jeffrey S. Glenn), 12 March 1996, & WO 93/24660 A1

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
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Document 1 (claims), document 2 (claims), document 3, and document 4 disclose compounds represented by formula (I) of the present application and which can be used as pharmaceuticals.

Therefore, claims 3 to 5 and 8 lack novelty and do not involve an inventive step.

Documents 3 and 4 disclose the preparation of compounds described in the present claim 7 using compounds described in the present claim 6 as starting material and a reaction path similar to the reaction path described in paragraph [0082] of the description of the present application, and also disclose the preparation of compounds represented in formula (I) of the present application by amidating compounds described in the present claim 7 in the presence of a base or a coupling agent. Further, the use of an acetylene compound when modifying an -OH group into an alkene is known from document 5.

Therefore, claims 1, 6, and 7 lack novelty and do not involve an inventive step. Further, claim 2 does not involve an inventive step.

Document 6 (claims, page 5) indicates that pharmaceuticals having an effect of inhibiting prenylation are useful against viral infections such as HCV. Further, document 2 (claims) indicates that compounds represented by formula (I) of the present application have an effect of inhibiting farnesyl transferase. When farnesyl transferase is inhibited prenylation is inhibited, and thus, the compounds disclosed in document 2 can be predicted to be useful

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

Box No. V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement

against viral infections such as HCV. The compounds disclosed in document 1 are similar to the compounds disclosed in document 2, and thus, can similarly be predicted to be useful against viral infections such as HCV.

Therefore, claims 9 to 11 do not involve an inventive step.

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2004/009803

## Box No. VI Certain documents cited

## 1. Certain published documents (Rule 70.10)

Application No. Patent No.	Publication date (day/month/year)	Filing date (day/month/year)	Priority date (valid claim) (day/month/year)
WO 2004/071503 A1	26.08.2004	12.02.2004	12.02.2003
'E, X'			

## 2. Non-written disclosures (Rule 70.9)

Kind of non-written disclosure	Date of non-written disclosure (day/month/year)	Date of written disclosure referring to non-written disclosure (day/month/year)
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